

## PATENT SPECIFICATION

NO DRAWINGS

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## COMPLETE SPECIFICATION

## Improvements in and relating to Contraceptive Suppositories

We, LONDON RUBBER INDUSTRIES LIMITED, a British Company, of Hall Lane, Chingford, London, E.4, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to contraceptives and more particularly to contraceptive devices of suppository type.

Suppositories are known which are based on a low-melting point wax and contain also a spermicide. On insertion into the vagina the wax melts under the influence of the body heat and the spermicide is released.

This type of device is unreliable, however, inasmuch that the spermicide is liable not to be released within the vagina and/or uterus in the distribution pattern necessary for effective spermicidal action. Conventional foaming tablets also suffer from this disadvantage.

To overcome this and other disadvantages the present invention provides a suppository wherein there is incorporated in addition to the spermicide a foaming agent. By a foaming agent is meant a compound or compound which effervesces in contact with water. The effervescence usually consists of bubbles of carbon dioxide although foaming agents evolving other inert gases, e.g. nitrogen, are known.

Thus on melting in the vagina the water present in the vaginal secretions will react with the foaming agent exposed by the melting of the wax to create an effervescence which entrains the active spermicidal agent and carries it in desired manner throughout the vagina so as to create an even distribution therein. It also ensures that an effective barrier is formed over the cervix to prevent access by spermatozoa and enables the spermicide to diffuse into the cervical mucus and so destroy any spermatozoa which might succeed in penetrating the barrier.

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The present invention accordingly provides a contraceptive suppository comprising a foaming agent as hereinbefore defined and a spermicidal agent dispersed within a wax meltable at body temperature.

In order to protect the foaming agent from atmospheric moisture the suppository may be of two-part construction, with an internal core containing the wax, foaming agent and spermicide in a uniform mix, and an outer protective shell of wax alone. Also the construction of the suppository and nature of the wax or waxes used in different portions thereof may be adjusted so as to control the rate of melting and hence of release of foaming agent and spermicide, as will be clear to those skilled in the art.

One class of preferred waxes are the polyethylene glycol waxes, these being available in a range of molecular weights and hence of melting temperatures. For example a polyethylene glycol having an average molecular weight of 950-1050 has a freezing point (as determined by solidification in a capillary tube by a method such as described in B.P. 1958, Appendix 4, Section 5) of around 42.5°C.

Because of the molecular weight range present in the constituent molecules of a wax, there is no sharp melting point but rather a melting range the breadth of which is determined by the molecular weight distribution. Depending on circumstances it may be preferred also to employ a blend of polyethylene glycols or other waxes of differing molecular weight ranges, in order to control the melting range.

The nature and quantity of suitable spermicidal and foaming agents will also be clear to those skilled in the art. However, as regards spermicidal agents there may be mentioned the alkylphenoxypolyethoxyethanols, (e.g. p-triisopropylphenoxypolyethoxy ethanol, nonylphenoxypolyethoxyethanol) benzethonium chloride, or mixtures thereof with

the alkyl phenoxypolyethoxyethanols, and hexylresorcinol. As regards foaming agents a mixture of sodium acid phosphate ( $\text{NaH}_2\text{PO}_4 \cdot 2\text{H}_2\text{O}$ ) + sodium bicarbonate, preferably 1:1 by weight, is suitable.

The following Examples show formulations of suppositories according to the present invention wherein the foaming agent is the aforesaid 1:1 mixture.

EXAMPLE 1.

Hexyl resorcinol	0.00296 gms.	
Foaming agent	1.4 gms.	
Polyethylene glycol wax	Balance	
	<u>2.96 gms.</u>	Total weight

EXAMPLE 2.

Hexyl resorcinol	0.00444 gms.	
Foaming agent	1.4 gms.	
Polyethylene glycol wax	Balance	
	<u>2.96 gms.</u>	Total weight

EXAMPLE 3.

Hexyl resorcinol	0.00592 gms.	
Foaming agent	1.4 gms.	
Polyethylene glycol wax	Balance	
	<u>2.96 gms.</u>	Total Weight

EXAMPLE 4.

Texafor F.N. 11	0.0888 gms.	
Foaming agent	1.4 gms.	
Polyethylene glycol wax	Balance	
	<u>2.96 gms.</u>	Total weight

EXAMPLE 5.

Texafor F.N. 11	0.148 gms.	
Foaming agent	1.4 gms.	
Polyethylene glycol wax	Balance	
	<u>2.96 gms.</u>	Total weight

## EXAMPLE 6.

Texafor F.N. 11	0.296 gms.	
Foaming agent	1.4 gms.	
Polyethylene glycol wax	Balance	
	2.96 gms.	Total weight

In these Examples the wax has a molecular weight range of 950-1050 and melts at around 42.5°C. The foaming agent is 1:1 by weight mixture of Sod. Acid Phos. (B.P.) ( $\text{NaH}_2\text{PO}_4 \cdot 2\text{H}_2\text{O}$ ) and Sod. Bicarb. (B.P.) Texafor F.N.11 is a trade name for a nonylphenoxy-polyethoxyethanol.

Foam-stabilizing agents such as polyvinyl pyrrolidone, alkyl and alkoxyalkyl cellulose, in particular methyl cellulose, may also be included in order to lengthen the time during which an effective level of spermicide is retained in the vagina. The steady release of foaming agent as the suppository gradually dissolves also assists in this respect.

The present suppository has the advantage over conventional foaming tablets of avoiding uncomfortable drying of the vagina. It also avoids irritation due to a localized concentration of spermicide.

## WHAT WE CLAIM IS:—

1. A contraceptive suppository comprising a foaming agent as hereinbefore defined and a spermicidal agent dispersed within a wax meltable at body temperature.

2. A contraceptive suppository according to claim 1 wherein the wax is a polyethylene

glycol wax.

3. A contraceptive suppository according to Claim 1 or 2 wherein the spermicidal agent is an alkylphenoxypolyethoxyethanol.

4. A contraceptive suppository according to claim 1 or 2 wherein the spermicidal agent is hexyl resorcinol.

5. A contraceptive suppository according to any one of claims 1 to 4 wherein the foaming agent is a mixture of sodium acid phosphate ( $\text{NaH}_2\text{PO}_4 \cdot 2\text{H}_2\text{O}$ ) and sodium bicarbonate.

6. A contraceptive suppository according to any one of claims 1 to 5 including also a foam-stabilizing agent.

7. A contraceptive suppository according to any one of claims 1 to 6 comprising a core containing wax, foaming agent and spermicidal agent and surrounded by a wax shell.

8. Contraceptive suppositories according to claim 1 substantially as hereindescribed with especial reference to the Examples.

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